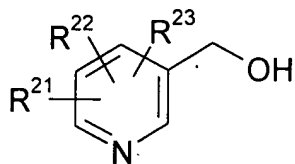
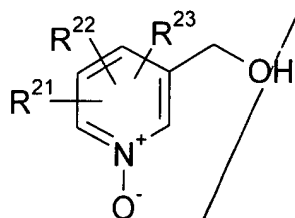


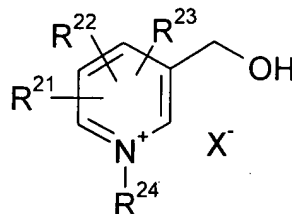
33. (Amended) The method of claim 32 where the compound having vitamin PP activity or a prodrug thereof is selected from the group consisting of compounds of formulae II, IIa, IIb, III, IIIa, IIIb, IIIc, IV, IVa, IVb, V, Va, and Vb:



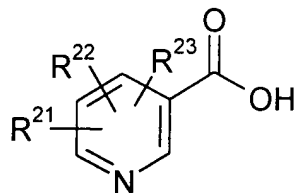
(II)



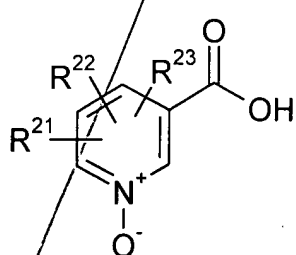
(IIa)



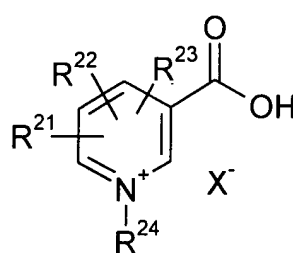
(IIb)



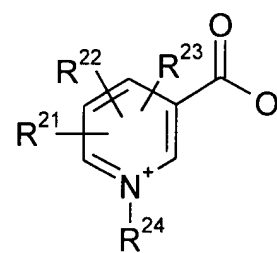
(III)



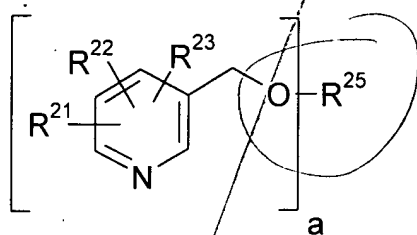
(IIIa)



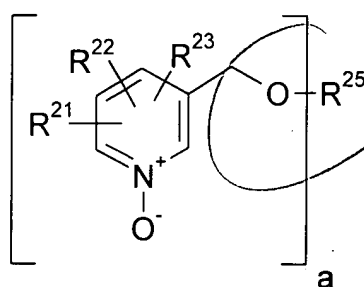
(IIIb)



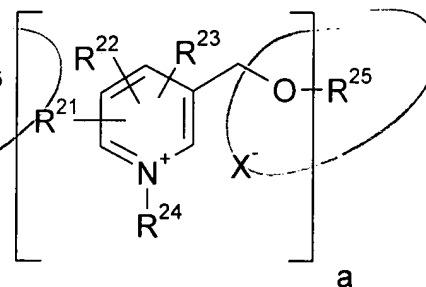
(IIIc)



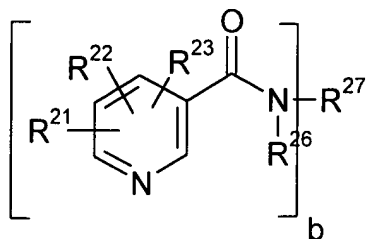
(IV)



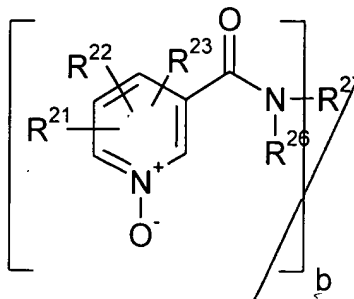
(IVa)



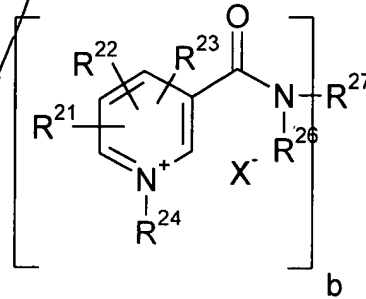
(IVb)



(V)



(Va)



(Vb)

where:

a is an integer of 1 through 6;

b is an integer of 1 through 2;

X⁻ is selected from the group consisting of fluoride, chloride, bromide, iodide, hydrogensulfate, mesylate, trifluoromethanesulfonate, tosylate, tetrafluoroborate, dihydrogenphosphate, and acetate;

AB1
R²¹ is selected from the group consisting of hydrogen, halogen, cyano, alkyl, trifluoromethyl, hydroxyalkyl, hydroxy, alkoxy, alkanoyloxy, alkylthio, aminoalkyl, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, and carboxy;

R²² is selected from the group consisting of hydrogen, halogen, alkyl, trifluoromethyl, hydroxyalkyl, hydroxy, alkoxy, alkanoyloxy, aminoalkyl, amino, alkoxycarbonyl, aminocarbonyl, and carboxy;

R²³ is selected from the group consisting of hydrogen, alkyl, and hydroxyalkyl;

R²⁴ is selected from the group consisting of alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, and aralkyl;

R²⁵ is such that the alcohol R²⁵(OH)_a is selected from monovalent linear and branched C₁₋₁₀ alkanols and ω-dialkylaminoalkanols, benzyl alcohol, divalent linear and branched C₂₋₁₀ diols, mono- or divalent C₅₋₇ cycloalkanols, C₅₋₇ cycloalkanediols, C₅₋₇ cycloalkanemethanols, saturated C₅₋₇

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heterocyclomethanols, tri-, tetra-, penta-, and hexavalent linear, branched, and cyclic alcohols with 3 to 10 carbon atoms, glycerin, 2,2-bis(hydroxymethyl)-1-octanol, erythritol, pentaerythritol, arabitol, xylitol, sorbitol, mannitol, isosorbitol, tetra(hydroxymethyl)cyclohexanol, and inositol;

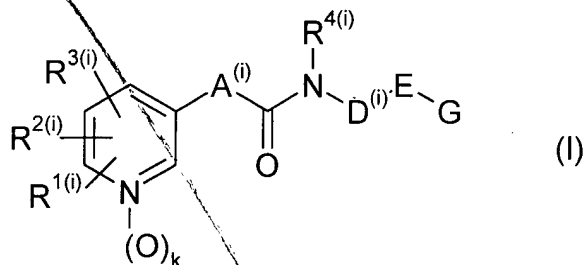
R^{26} is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, dialkylaminoalkyl, and carboxymethyl;

when b is 1, R^{27} is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, dialkylaminoalkyl, and carboxymethyl;

when b is 2, R^{27} is alkylene in which a methylene group is optionally replaced by O, NH, or N-alkyl; and their thioxo analogs, and the acid addition salts or anionic salts thereof.

41. (Amended) A pharmaceutical composition comprising:

(a) at least one compound selected from the group consisting of compounds of formula I:



where:

each of $R^{1(i)}$, $R^{2(i)}$, $R^{3(i)}$, and $R^{4(i)}$ are independently selected from the group consisting of halogen, hydroxy, trifluoromethyl, cyano, aliphatic hydrocarbyl residue optionally substituted with one or more functional groups and optionally interrupted by one or more heteroatoms, and aromatic hydrocarbyl residue; or $R^{1(i)}$ and $R^{2(i)}$ together form a bridge;

k is 0 or 1;

B6 excluded

R^{23} is selected from the group consisting of hydrogen, alkyl, and hydroxyalkyl;

R^{24} is selected from the group consisting of alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, and aralkyl;

R^{25} is such that the alcohol $R^{25}(OH)_a$ is selected from monovalent linear and branched C_{1-10} alkanols and ω -dialkylaminoalkanols, benzyl alcohol, divalent linear and branched C_{2-10} diols, mono- or divalent C_{5-7} cycloalkanols, C_{5-7} cycloalkanediols, C_{5-7} cycloalkanemethanols, saturated C_{5-7} heterocyclomethanols, tri-, tetra-, penta-, and hexavalent linear, branched, and cyclic alcohols with 3 to 10 carbon atoms, glycerin, 2,2-bis(hydroxymethyl)-1-octanol, erythritol, pentaerythritol, arabitol, xylitol, sorbitol, mannitol, isosorbitol, tetra(hydroxymethyl)cyclohexanol, and inositol;

AL R^{26} is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, dialkylaminoalkyl, and carboxymethyl;

when b is 1, R^{27} is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, dialkylaminoalkyl, and carboxymethyl;

when b is 2, R^{27} is alkylene in which a methylene group is optionally replaced by O, NH, or N-alkyl; and their thioxo analogs, and the acid addition salts or anionic salts thereof.

Sal 34 34. The method of claim 33 where:

R^{21} is selected from the group consisting of hydrogen, halogen, cyano, C_{1-6} alkyl, trifluoromethyl, C_{1-6} hydroxyalkyl, hydroxy, C_{1-6} alkoxy, C_{2-7} alkanoyloxy, C_{1-6} alkylthio, C_{1-6} aminoalkyl, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, formyl, alkoxy-carbonyl, aminocarbonyl, (C_{1-6} alkyl)aminocarbonyl, di(C_{1-6} alkyl)aminocarbonyl, and carboxy;

Sub E1
 R^{22} is selected from the group consisting of hydrogen, halogen, C_{1-6} alkyl, trifluoromethyl, C_{1-6} hydroxyalkyl, hydroxy, alkoxy, C_{2-7} alkanoyloxy, C_{1-6} aminoalkyl, amino, (C_{1-6} alkoxy)-carbonyl, aminocarbonyl, and carboxy;

R^{23} is selected from the group consisting of hydrogen, C_{1-6} alkyl, and C_{1-6} hydroxyalkyl;

R^{24} is selected from the group consisting of C_{1-6} alkyl, C_{3-6} alkenyl, C_{2-6} hydroxyalkyl, C_{2-6} alkoxyalkyl, and benzyl;

R^{26} is selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} hydroxyalkyl, C_{3-6} alkoxyalkyl, C_{1-6} aminoalkyl, C_{4-12} dialkylaminoalkyl, and carboxymethyl;

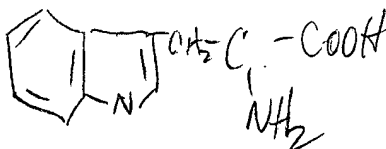
when b is 1, R^{27} is selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} hydroxyalkyl, C_{3-6} alkoxyalkyl, C_{1-6} aminoalkyl, C_{4-12} dialkylaminoalkyl, and carboxymethyl;

AL
 when b is 2, R^{27} is C_{2-10} alkylene in which a methylene group is optionally replaced by O, NH, or N-alkyl.

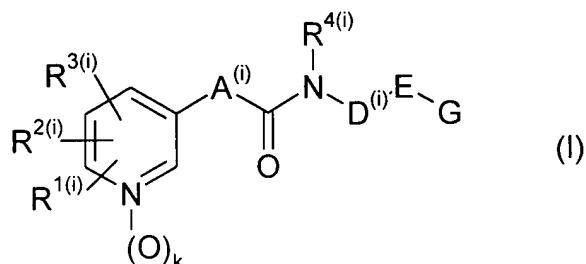
35. The method of claim 34 where the compound having vitamin PP activity or a prodrug thereof is selected from the group consisting of nicotinic acid, nicotinamide, and their pharmaceutically acceptable ester and amide derivatives, anionic, quaternary, and addition salts, N-oxides, and analogous thioxo derivatives, their isomers, and prodrugs thereof.

Sub E1
 36. The method of claim 35 where the compound having vitamin PP activity or a prodrug thereof is selected from the group consisting of nicotinic acid, nicotinamide, and mixtures thereof.

Sub E1
 37. The method of claim 35 where the compound having vitamin PP activity or a prodrug thereof is tryptophan.



38. The method of claim 32 where the cancerostatic or immunosuppressive agent is selected from the group consisting of compounds of formula I:



where:

each of $R^{1(i)}$, $R^{2(i)}$, $R^{3(i)}$, and $R^{4(i)}$ are independently selected from the group consisting of halogen, hydroxy, trifluoromethyl, cyano, aliphatic hydrocarbyl residue optionally substituted with one or more functional groups and optionally interrupted by one or more heteroatoms, and aromatic hydrocarbyl residue; or $R^{1(i)}$ and $R^{2(i)}$ together form a bridge;

k is 0 or 1;

$A^{(i)}$ and $D^{(i)}$ are independently a saturated or unsaturated optionally substituted aliphatic hydrocarbyl residue, optionally interrupted by a heteroatom or a functional group;

E is a bond or is a heterocyclic residue having one or two ring nitrogen atoms or one ring nitrogen atom and one ring oxygen atom, linked to $D^{(i)}$ and G through a ring nitrogen atom and a ring carbon atom or through two ring nitrogen atoms; and

G is selected from the group consisting of hydrogen, an aliphatic or araliphatic residue, an unsaturated or aromatic monocyclic or polycyclic carbocyclic residue, a saturated, unsaturated, or aromatic monocyclic or polycyclic heterocyclic residue, bonded directly or through a functional group derived from a carbon, nitrogen, oxygen, sulfur, or phosphorus atom,

and the stereoisomers or racemic or non-racemic mixtures of stereoisomers thereof,

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and the tautomers thereof when G is a heterocyclic aromatic ring or an aromatic ring substituted by a hydroxy, mercapto, or amino group,

and the pharmacologically acceptable acid addition salts thereof.

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39. The method of claim 38 where the cancerostatic or immunosuppressive agent is selected from the group consisting of

A2

N-[2-(1-benzylpiperidin-4-yl)ethyl]-3-(pyridin-3-yl)propionamide;

N-{2-[1-(2-phenylethyl)piperidin-4-yl]ethyl}-3-(pyridin-3-yl)-propionamide;

N-{2-[1-(4-phenylbutyl)piperidin-4-yl]ethyl}-3-(pyridin-3-yl)-propionamide;

N-{2-[1-(4-hydroxy-4-phenylbutyl)piperidin-4-yl]ethyl}-3-(pyridin-3-yl)propionamide;

N-[2-(1-diphenylmethylpiperidin-4-yl)ethyl]-3-(pyridin-3-yl)-propionamide,

N-[3-(1-diphenylmethylpiperidin-4-yl)propyl]-3-(pyridin-3-yl)-propionamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)-propionamide;

N-[4-(1-benzylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;

N-{4-[1-(2-phenylethyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)-acrylamide;

N-{4-[1-(4-biphenylmethyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;

N-{4-[1-(1-naphthylmethyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)-acrylamide;

N-{4-[1-(9-anthrylmethyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)-acrylamide;

N-{4-[1-(cyclohexylphenylmethyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;

*Sub
Dx
cont* N-{4-[1-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;

N-[2-(1-diphenylmethylpiperidin-4-yl)ethyl]-3-(pyridin-3-yl)-acrylamide;

N-[3-(1-diphenylmethylpiperidin-4-yl)propyl]-3-(pyridin-3-yl)-acrylamide;

N-[5-(1-diphenylmethylpiperidin-4-yl)pentyl]-3-(pyridin-3-yl)-acrylamide;

N-[6-(1-diphenylmethylpiperidin-4-yl)hexyl]-3-(pyridin-3-yl)-acrylamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;

A2 N-(4-{1-[bis(4-fluorophenyl)methyl]piperidin-4-yl}butyl)-3-(pyridin-3-yl)acrylamide;

N-(4-{1-[bis(2-chlorophenyl)methyl]piperidin-4-yl}butyl)-3-(pyridin-3-yl)acrylamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(2-fluoropyridin-3-yl)acrylamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(6-fluoropyridin-3-yl)acrylamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)-acrylamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)-acrylamide dihydrochloride;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)-acrylamide methanesulfonate;

N-[4-(1-acetyl)piperidin-4-yl]butyl]-3-(pyridin-3-yl)propionamide;

N-[4-(1-benzoylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)-propionamide;

N-[4-(1-diphenylacetylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)-propionamide;

N-{4-[1-(9-oxo-9H-fluoren-4-carbonyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)propionamide;

*Sub
Pr
cont*
N-[4-(1-methylsulfonylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)-
propionamide;

N-{4-[1-(2-naphthylsulfonyl)piperidin-4-yl]butyl}-
3-(pyridin-3-yl)propionamide;

N-[4-(1-benzylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide;

N-(4-{1-[bis(2-chlorophenyl)methyl]piperidin-4-yl}butyl)-
3-(pyridin-3-yl)propionamide;

N-{4-[1-(phenylpyridin-3-ylmethyl)piperidin-4-yl]butyl}-
3-(pyridin-3-yl)propionamide;

N-{4-[1-(9H-fluoren-9-yl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)-
propionamide;

N-{4-[1-(6,11-dihydrodibenzo[b,e]oxepin-11-yl)piperidin-4-yl]-
butyl}-3-(pyridin-3-yl)propionamide;

N-{4-[1-(1-naphthylaminocarbonyl)piperidin-4-yl]butyl}-
3-(pyridin-3-yl)propionamide;

Pr
N-[4-(1-diphenylaminocarbonylpiperidin-4-yl)butyl]-3-
(pyridin-3-yl)propionamide;

(-)
N-{4-[1-(10,11-dihydrodibenzo[b,f]azepin-5-yl-carbonyl)piperidin-
4-yl]butyl}-3-(pyridin-3-yl)propionamide;

N-[4-(1-diphenylphosphinoylpiperidin-4-yl)butyl]-
3-(pyridin-3-yl)propionamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(2-fluoropyridin-3-
yl)propionamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(5-fluoropyridin-3-
yl)propionamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-2-fluoro-
3-(pyridin-3-yl)propionamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-2,2-difluoro-
3-(pyridin-3-yl)propionamide;

N-[5-(1-diphenylmethylpiperidin-4-yl)pentyl]-3-(pyridin-3-yl)-
propionamide;

N-[6-(1-diphenylmethylpiperidin-4-yl)hexyl]-3-(pyridin-3-yl)-
propionamide;

*Sub
D2
cont*
N-[2-(1-diphenylmethylpiperidin-4-yl)ethyl]-5-(pyridin-3-yl)-
pentanoic acid amide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-5-(pyridin-3-yl)-
pentanoic acid amide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-N-hydroxy-
3-(pyridin-3-yl)propionamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-2-hydroxy-
3-(pyridin-3-yl)propionamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-hydroxy-
3-(pyridin-3-yl)propionamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)-
propionamide;

N-[4-(1-methylsulfonylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)-
acrylamide;

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N-[4-[1-(2-naphthylsulfonyl)piperidin-4-yl]butyl]-
3-(pyridin-3-yl)acrylamide;

N-[4-[1-(2-naphthylsulfonyl)piperidin-4-yl]butyl]-
5-(pyridin-3-yl)-2,4-pentadienic acid amide;

N-[4-[1-(1-naphthylaminocarbonyl)piperidin-4-yl]butyl]-
3-(pyridin-3-yl)acrylamide;

N-[4-(1-diphenylaminocarbonylpiperidin-4-yl)butyl]-
3-(pyridin-3-yl)acrylamide;

N-[4-(1-diphenylaminocarbonylpiperidin-4-yl)butyl]-
5-(pyridin-3-yl)-2,4-pentadienic acid amide;

N-[4-[1-(10,11-dihydrodibenzo[b,f]azepin-5-yl-carbonyl)-
piperidin-4-yl]-butyl]-3-(pyridin-3-yl)-acrylamide;

N-[4-(1-diphenylphosphinoylpiperidin-4-yl)butyl]-
3-(pyridin-3-yl)acrylamide;

N-[4-(1-acetylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;

N-[4-(1-diphenylacetylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-
acrylamide;

N-[4-[1-(3,3-diphenylpropionyl)piperidin-4-yl]-butyl]-
3-(pyridin-3-yl)acrylamide;

*Sub
D2
cont*
N-[4-(1-benzoylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;

N-[4-(1-benzoylpiperidin-4-yl)butyl]-5-(pyridin-3-yl)-

2,4-pentadienic acid amide;

N-{4-[1-(9-oxo-9H-fluoren-4-ylcarbonyl)piperidin-4-yl]butyl}-

3-(pyridin-3-yl)acrylamide;

N-{4-[1-(phenylpyridin-3-ylmethyl)piperidin-4-yl]-butyl}-

3-(pyridin-3-yl)acrylamide;

N-{4-[1-(phenylpyridin-4-ylmethyl)piperidin-4-yl]-butyl}-

3-(pyridin-3-yl)acrylamide;

N-{4-[1-(6,11-dihydrodibenzo[b,e]oxepin-11-yl)piperidin-4-yl]-

butyl}-3-(pyridin-3-yl)acrylamide;

N-{4-[1-(6,11-dihydrodibenzo[b,e]thiepin-11-yl)piperidin-4-yl]-

butyl}-3-(pyridin-3-yl)acrylamide;

N-[7-(1-diphenylmethylpiperidin-4-yl)heptyl]-3-(pyridin-3-yl)-

acrylamide;

AR
N-[8-(1-diphenylmethylpiperidin-4-yl)octyl]-3-(pyridin-3-yl)-

acrylamide;

N-[3-(1-diphenylmethylpiperidin-4-yloxy)propyl]-3-(pyridin-3-yl)-

acrylamide;

N-[3-(1-benzylpiperidin-4-yloxy)propyl]-3-(pyridin-3-yl)-

acrylamide;

N-[2-(1-diphenylmethylpiperidin-4-yl)ethyl]-5-(pyridin-3-yl)-

2,4-pentadienic acid amide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-5-(pyridin-3-yl)-

2,4-pentadienic acid amide;

N-[5-(1-diphenylmethylpiperidin-4-yl)pentyl]-5-(pyridin-3-yl)-

2,4-pentadienic acid amide;

N-[6-(1-diphenylmethylpiperidin-4-yl)hexyl]-5-(pyridin-3-yl)-

2,4-pentadienic acid amide;

N-[4-(4-diphenylmethylpiperazin-1-yl)-3-hydroxybutyl]-

3-(pyridin-3-yl)acrylamide;

N-[3-(4-diphenylmethylpiperazin-1-yl)propoxy]-3-(pyridin-3-yl)-

acrylamide;

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N-[4-(4-diphenylmethylpiperazin-1-yl)-4-oxobutyl]-
3-(pyridin-3-yl)acrylamide;
N-[3-(4-diphenylmethylpiperazin-1-sulfonyl)propyl]-
3-(pyridin-3-yl)acrylamide;
N-{2-[2-(4-diphenylmethylpiperazin-1-yl)ethoxy]ethyl}-
3-(pyridin-3-yl)acrylamide;
N-(4-{4-[bis(4-fluorophenyl)methyl]piperazin-1-yl}but-2-enyl)-
3-(pyridin-3-yl)acrylamide;
N-(4-{4-[(4-carboxyphenyl)phenylmethyl]piperazin-1-yl}butyl)-
3-(pyridin-3-yl)acrylamide;
N-(4-{4-[(4-aminophenyl)phenylmethyl]piperazin-1-yl}butyl)-
3-(pyridin-3-yl)acrylamide;
N-{4-[4-(9H-fluoren-9-yl)piperazin-1-yl]butyl}-
2-(pyridin-3-yloxy)acetamide;
N-{5-[4-(9H-fluoren-9-yl)piperazin-1-yl]pentyl}-3-(pyridin-3-yl)-
acrylamide;
N-{6-[4-(9H-fluoren-9-yl)piperazin-1-yl]hexyl}-3-(pyridin-3-yl)-
acrylamide;
3-(pyridin-3-yl)-N-{4-[4-(1,2,3,4-tetrahydronaphthalen-1-yl)-
piperazin-1-yl]butyl}acrylamide;
3-(pyridin-3-yl)-N-{4-[4-(5,6,7,8-tetrahydronaphthalen-1-yl)-
piperazin-1-yl]butyl}acrylamide;
N-{4-[4-(naphthalen-1-yl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)-
acrylamide;
N-[4-(4-biphenyl-2-ylpiperazin-1-yl)butyl]-3-(pyridin-3-yl)-
propionamide;
N-[5-(4-biphenyl-2-ylpiperazin-1-yl)pentyl]-3-(pyridin-3-yl)-
acrylamide;
N-[6-(4-biphenyl-2-ylpiperazin-1-yl)hexyl]-3-(pyridin-3-yl)-
acrylamide;
N-[4-(4-biphenyl-2-ylpiperazin-1-yl)butyl]-2-(pyridin-3-yloxy)-
acetamide;

Ar

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N-[4-(4-biphenyl-2-yl)piperazin-1-yl]butyl]-5-(pyridin-3-yl)-
2,4-pentadienic acid amide;

N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-
piperazin-1-yl]butyl}-3-(pyridin-3-yl)propionamide;

N-{5-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-
piperazin-1-yl]pentyl}-3-(pyridin-3-yl)acrylamide;

N-{6-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-
piperazin-1-yl]hexyl}-3-(pyridin-3-yl)acrylamide;

N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-
piperazin-1-yl]butyl}-5-(pyridin-3-yl)-2,4-pentadienic amide;

N-{4-[4-(6,11-dihydrodibenzo[b,e]oxepin-11-yl)piperazin-1-yl]-
butyl}-3-(pyridin-3-yl)propionamide;

N-{2-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yl)piperazin-1-yl]-
ethyl}-3-(pyridin-3-yl)acrylamide;

N-[4-(4-diphenylacetyl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)-
acrylamide;

A2 N-[4-(4-benzoyl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;

N-{4-[4-(2-aminobenzoyl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)-
acrylamide;

N-{4-[4-(4-carboxybenzoyl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)-
acrylamide;

N-{4-[4-(biphenyl-2-carbonyl)piperazin-1-yl]butyl}-
3-(pyridin-3-yl)acrylamide;

N-{4-[4-(9-oxo-9H-fluoren-4-carbonyl)piperazin-1-yl]butyl}-
3-(pyridin-3-yl)acrylamide;

N-{4-[4-(furan-2-carbonyl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)-
acrylamide;

N-{4-[4-(naphthalen-1-ylaminocarbonyl)piperazin-1-yl]butyl}-
3-(pyridin-3-yl)propionamide;

N-{4-[4-(diphenylaminocarbonyl)piperazin-1-yl]butyl}-
3-(pyridin-3-yl)acrylamide;

N-{4-[4-(naphthalen-2-sulfonyl)piperazin-1-yl]butyl}-
3-(pyridin-3-yl)acrylamide;

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N-[4-(4-diphenylphosphinonylpiperazin-1-yl)butyl]-
3-(pyridin-3-yl)acrylamide;
N-[4-(4-biphenyl-2-ylpiperazin-1-yl)butyl]-3-(pyridin-3-yl)-
acrylamide;
N-{4-[4-(9H-fluoren-9-yl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)-
acrylamide;
N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)piperazin-
1-yl]butyl}-3-(pyridin-3-yl)acrylamide;
N-[4-(4-phenylpiperidin-1-yl)-butyl]-3-(pyridin-3-yl)acrylamide;
N-{4-[4-(1H-indol-3-yl)piperidin-1-yl]butyl}-3-(pyridin-3-yl)-
acrylamide;
N-{4-[4-(2-oxo-2,3-dihydrobenzimidazol-1-yl)piperidin-1-yl]-
butyl}-3-(pyridin-3-yl)acrylamide;
N-[4-(4-benzotriazol-1-ylpiperidin-1-yl)butyl]-3-(pyridin-3-yl)-
acrylamide;
N-{4-[4-(hydroxydiphenylmethyl)piperidin-1-yl]butyl}-
2-(pyridin-3-yloxy)acetamide;
N-[4-(4,4-diphenylpiperidin-1-yl)butyl]-3-(pyridin-3-yl)-
acrylamide;
N-{4-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yliden)-
piperidin-1-yl]butyl}-3-(pyridin-3-yl)propionamide
dihydrochloride semi-isopropanol;
N-{4-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yliden)-
piperidin-1-yl]butyl}-5-(pyridin-3-yl)pentanamide;
N-{4-[4-(4,9-dihydrothieno[2,3-b]benzo[e]thiepin-4-yliden)-
piperidin-1-yl]butyl}-3-(pyridin-3-yl)propionamide;
N-{4-[4-(4,9-dihydrothieno[2,3-b]benzo[e]thiepin-4-yliden)-
piperidin-1-yl]butyl}-3-(pyridin-3-yl)acrylamide;
N-[4-(4-diphenylphosphinoyloxypiperidin-1-yl)butyl]-
3-(pyridin-3-yl)acrylamide;
N-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)butyl]-3-(pyridin-3-yl)-
acrylamide;

*Sub
cont*
N-[4-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)butyl]-
3-(pyridin-3-yl)acrylamide;

N-[4-(2,6-dioxo-4-phenylpiperidin-1-yl)butyl]-3-(pyridin-3-yl)-
acrylamide;

↓ ⊖
N-[4-(1,3-dioxo-4,5,6,7-tetraphenyl-1,3-dihydroisoindol-2-yl)-
butyl]-3-(pyridin-3-yl)acrylamide;

N-[4-(3-benzyl-2,4,5-trioxoimidazolidin-1-yl)butyl]-
3-(pyridin-3-yl)acrylamide;

N-[4-(1,3,10-trioxo-1,4,5,6,10,10a-hexahydroacenaphtho[1,8a-c]-
pyrrol-2-yl)butyl]-3-(pyridin-3-yl)acrylamide;

N-[4-(2,5-dioxo-4,4-diphenylimidazolidin-1-yl)butyl]-
3-(pyridin-3-yl)acrylamide;

N-[4-(2,5-dioxo-3-phenyl-2,5-dihydropyrrol-1-yl)butyl]-
3-(pyridin-3-yl)acrylamide;

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N-[3-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)propyl]-
3-(pyridin-3-yl)acrylamide;

N-[4-(3-pyridin-3-ylacryloylamino)butyl]-2,3:5,6-dibenzo-
bicyclo[2.2.2]octan-7,8-dicarboximide;

N-[4-(5-benzyliden-2,4-dioxothiazolidin-3-yl)butyl]-
3-(pyridin-3-yl)acrylamide;

N-[4-(4-benzyl-2,6-dioxopiperazin-1-yl)butyl]-3-(pyridin-3-yl)-
acrylamide;

N-[6-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)hexyl]-
3-(pyridin-3-yl)acrylamide;

N-[4-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)butyl]-
3-(pyridin-3-yl)propionamide;

N-[4-(1,3-dioxo-1,3-dihydroisoindol-2-yl)butyl]-3-(pyridin-3-yl)-
acrylamide;

N-[4-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)butyl]-
3-(1-oxopyridin-3-yl)acrylamide;

N-[6-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)hexyl]-
3-(pyridin-3-yl)acrylamide;

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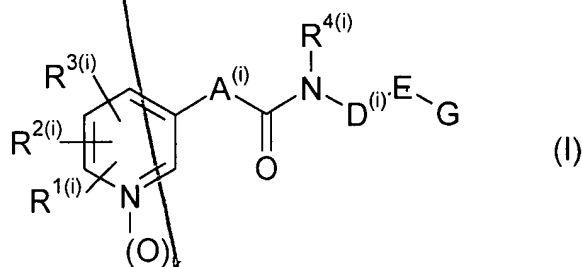
N-[2-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)ethyl]-3-(pyridin-3-yl)acrylamide;
N-[4-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)butyl]-3-(pyridin-3-yl)acrylamide;
N-[8,8-bis(4-fluorophenyl)octyl]-3-(pyridin-3-yl)acrylamide hydrochloride;
N-[6-(3,3-diphenylureido)hexyl]-3-(pyridin-3-yl)acrylamide;
N-[4-(1-phenyl-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl)butyl]-3-(pyridin-3-yl)acrylamide;
N-(8,8-diphenyloctyl)-3-(pyridin-3-yl)acrylamide;
N-(8-hydroxy-8,8-diphenyloctyl)-3-(pyridin-3-yl)acrylamide;
N-[4-(3,3-diphenylureido)butyl]-3-(pyridin-3-yl)acrylamide;
N-[4-(1H,3H-benzo[de]isoquinolin-2-yl)butyl]-3-(pyridin-3-yl)-acrylamide;
N-[6-(10,11-dihydrodibenzo[b,f]azepin-5-ylcarbonylamino)hexyl]-3-(pyridin-3-yl)acrylamide;
N-[6-(pyridin-3-yl)-N-[6-tosylaminohexyl]acrylamide];
N-[4-(1,1-dioxo-1-thia-2-azaacenaphthylen-2-yl)butyl]-3-(pyridin-3-yl)acrylamide;
N-(6-hydroxy-6,6-diphenylhexyl)-3-(pyridin-3-yl)acrylamide;
N-(6,6-diphenylhex-5-enyl)-3-(pyridin-3-yl)acrylamide;
N-[4-(4,5-diphenylimidazol-1-yl)butyl]-3-(pyridin-3-yl)-acrylamide;
N-[4-(trans-2-phenylcyclopropylcarbonylamino)butyl]-3-(pyridin-3-yl)acrylamide;
N-(5-hydroxy-5,5-diphenylpentyl)-3-(pyridin-3-yl)acrylamide;
N-(7-phenylheptyl)-3-(pyridin-3-yl)acrylamide;
N-(4-diphenylacetylaminobutyl)-3-(pyridin-3-yl)acrylamide;
N-[4-(benzhydrylamino)butyl]-3-(pyridin-3-yl)acrylamide; and
N-[4-([2-(benzhydrylmethylamino)ethyl]methylamino)butyl]-3-(pyridin-3-yl)acrylamide.

AR

40. The method of claim 38 comprising the additional administration of a further cancerostatic or immunosuppressive agent that is not a compound of formula I.

41. A pharmaceutical composition comprising:

(a) at least one compound selected from the group consisting of compounds of formula I:



where:

each of $R^{1(i)}$, $R^{2(i)}$, $R^{3(i)}$, and $R^{4(i)}$ are independently selected from the group consisting of halogen, hydroxy, trifluoromethyl, cyano, aliphatic hydrocarbyl residue optionally substituted with one or more functional groups and optionally interrupted by one or more heteroatoms, and aromatic hydrocarbyl residue; or $R^{1(i)}$ and $R^{2(i)}$ together form a bridge;

k is 0 or 1;

$A^{(i)}$ and $D^{(i)}$ are independently a saturated or unsaturated optionally substituted aliphatic hydrocarbyl residue, optionally interrupted by a heteroatom or a functional group;

E is a bond or is a heterocyclic residue having one or two ring nitrogen atoms or one ring nitrogen atom and one ring oxygen atom, linked to $D^{(i)}$ and G through a ring nitrogen atom and a ring carbon atom or through two ring nitrogen atoms; and

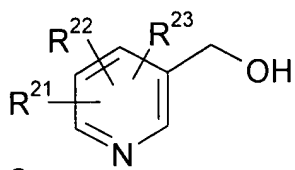
G is selected from the group consisting of hydrogen, an aliphatic or araliphatic residue, an unsaturated or aromatic monocyclic or polycyclic carbocyclic residue, a saturated, unsaturated, or aromatic monocyclic or polycyclic heterocyclic residue, bonded directly or through a functional group derived from a carbon, nitrogen, oxygen, sulfur, or phosphorus atom,

and the stereoisomers or racemic or non-racemic mixtures of stereoisomers thereof,

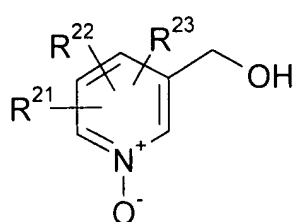
and the tautomers thereof when G is a heterocyclic aromatic ring or an aromatic ring substituted by a hydroxy, mercapto, or amino group,

and the pharmacologically acceptable acid addition salts thereof;

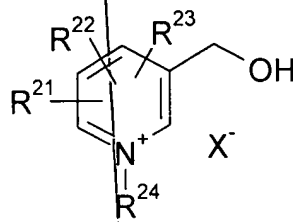
(b) at least one compound selected from the group consisting of compounds of formulae II, IIa, IIb, III, IIIa, IIIb, IIIc, IV, IVa, IVb, V, Va, and Vb:



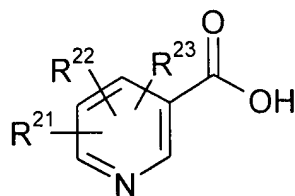
(II)



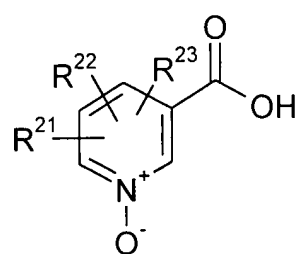
(IIa)



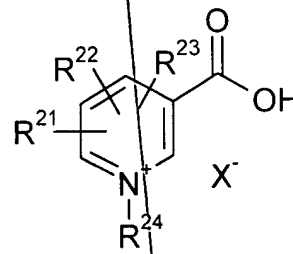
(IIb)



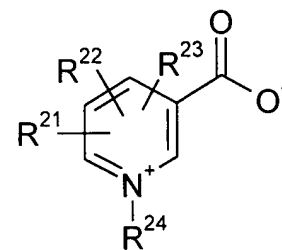
(III)



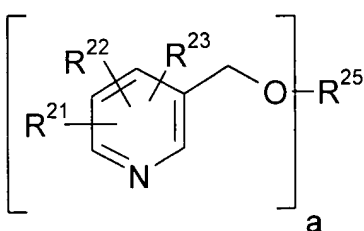
(IIIa)



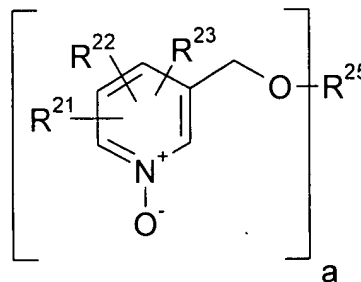
(IIIb)



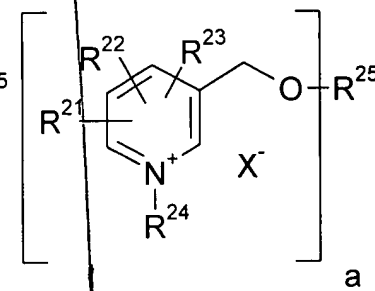
(IIIc)



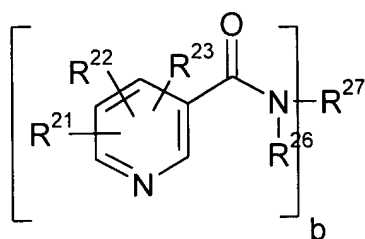
(IV)



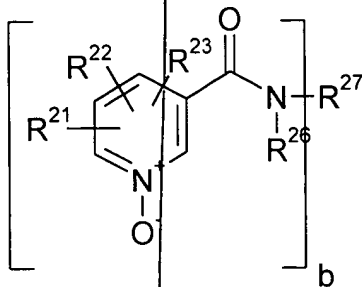
(IVa)



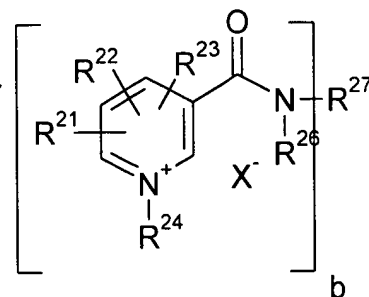
(IVb)



(V)



(Va)



(Vb)

where:

a is an integer of 1 through 6;

b is an integer of 1 through 2;

X⁻ is selected from the group consisting of fluoride, chloride, bromide, iodide, hydrogensulfate, mesylate, trifluoromethanesulfonate, tosylate, tetrafluoroborate, dihydrogenphosphate, and acetate;

R²¹ is selected from the group consisting of hydrogen, halogen, cyano, alkyl, trifluoromethyl, hydroxyalkyl, hydroxy, alkoxy, alkanoyloxy, alkylthio, aminoalkyl, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, and carboxy;

R²² is selected from the group consisting of hydrogen, halogen, alkyl, trifluoromethyl, hydroxyalkyl, hydroxy, alkoxy, alkanoyloxy, aminoalkyl, amino, alkoxycarbonyl, aminocarbonyl, and carboxy;

R²³ is selected from the group consisting of hydrogen, alkyl, and hydroxyalkyl;

R²⁴ is selected from the group consisting of alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, and aralkyl;

R²⁵ is such that the alcohol R²⁵(OH)_a is selected from ;

R²⁶ is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, dialkylaminoalkyl, and carboxymethyl;